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(54) Title: LEAVE-ON SKIN COSMETIC COMPOSITIONS COMPRISING A POLYHYDRIC ALCOHOL AND A LIQUID CRYSTAL FORMING EMULSIFIER

(57) Abstract: A leave-on cosmetic composition suitable for topical application to the skin comprising: (a) from greater than about 20 % to less than about 80 % by weight of a polyhydric alcohol, or mixtures thereof; and (b) from about 2 % to about 45 % by weight of a polyhydric alcohol, or mixtures thereof; and (b) from about 2 % to about 45 % by weight an emulsifier which is capable of forming liquid crystals in water. The compositions of the invention display high moisturisation efficacy without the associated high levels of tack, as well as good rheological and absorption properties, in addition to skin feel, skin softness and skin smoothness benefits.

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LEAVE-ON SKIN COSMETIC COMPOSITIONS COMPRISSING A POLYHYDRIC ALCOHOL AND A LIQUID CRYSTAL FORMING EMULSIFIER

Technical Field

The present invention relates to cosmetic compositions. In particular it relates to cosmetic compositions with high moisturisation efficacy without high levels of tack. The compositions further display good in-use rub-in and absorption characteristics, as well as excellent skin feel, skin softness, and skin smoothness benefits.

Background of the Invention

Skin is made up of several layers of cells which coat and protect the keratin and collagen fibrous proteins that form the skeleton of its structure. The outermost of these layers, referred to as the stratum corneum, is known to be composed of 25nm protein bundles surrounded by 8nm thick layers. Anionic surfactants and organic solvents typically penetrate the stratum corneum membrane and, by delipidization (i.e. removal of the lipids from the stratum corneum), destroy its integrity. This destruction of the skin surface topography leads to a rough feel and may eventually permit the surfactant or solvent to interact with the keratin, creating irritation.

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It is now recognised that maintaining the proper water gradient across the stratum corneum is important to its functionality. Most of this water, which is sometimes considered to be the stratum corneum's plasticizer, comes from inside the body. If the humidity is too low, such as in a cold climate, insufficient water remains in the outer layers of the stratum corneum to properly plasticize the tissue, and the skin begins to scale and becomes itchy. Skin permeability is also decreased somewhat when there is inadequate water across the stratum corneum. On the other hand, too much water on the outside of the skin causes the stratum corneum to ultimately absorb three to five times its own weight of bound water. This swells and puckers the skin and results in

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approximately a two to three fold increase in the permeability of the skin to water and other polar molecules.

Thus, a need exists for compositions which will assist the stratum corneum in maintaining its barrier and water-retention functions at optimum performance in spite of deleterious interactions which the skin may encounter in washing, work, and recreation.

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Desirable properties of cosmetic cream and lotion compositions are good skin feel, water retention, moisturisation, absorption, and rub-in characteristics. The skin feel of a composition, perceived by the consumer as skin softness or skin smoothness, is related to the emollients of a composition which form a film or layer upon application to the skin. The absorption and rub-in characteristics of a composition relate to its physical behaviour under mechanical stress which is affected by the rheological profile of the composition.

Many cosmetic cream and lotion compositions are known to provide varying degrees of emolliency, barrier and water-retention (moisturising) benefits. In order to deliver high moisturisation to the skin it is necessary to incorporate polyhydric alcohol-like humectant materials such as glycerine into a composition. Skin compositions with high levels of polyhydric alcohols and therefore high levels of moisturisation, however, are perceived by the consumer as unpleasant as such compositions form very sticky residues when applied to the skin.

Thus, there remains a need for compositions which show low levels of stickiness or tack whilst providing high levels of moisturisation, as well as providing excellent skin feel, skin softness and skin smoothness benefits.

It has now been unexpectedly found that by incorporating an emulsifier capable of forming liquid crystals in water into a leave-on cosmetic composition comprising greater than about 20% by weight of a polyhydric alcohol, a composition is provided with low levels of stickiness or tack. The compositions also show good absorption and water retention properties, in addition to skin feel, skin softness and skin smoothness benefits and excellent moisturisation characteristics.

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Emulsifiers capable of forming liquid crystals in water are known for use in cosmetic compositions. See for example WO98/22085, WO97/32560, WO97/32561 and WO94/17830, and brochures from ICI Surfactants: (1) "Arlatone" 2121: Natural emulsifier for oil-in-water milks and creams (Ref. 41-5E); and (2) Ethylene-oxide-free oil-in-water (O/W) and water-in-oil (W/O) emulsifiers by Dederen, C. et al. (Ref. RP 72/92E). However, none of these documents teaches or suggests the use of such emulsifiers for reducing the high levels of tack in cosmetic compositions comprising high polyhydric alcohol (greater than about 20% by weight of the composition).

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Summary of the Invention

According to one aspect of the present invention there is provided a leave-on cosmetic composition suitable for topical application to the skin comprising:

- (a) from greater than about 20% to less than about 80% by weight of a polyhydric alcohol, or mixtures thereof; and
- (b) from about 2% to about 45% by weight of an emulsifier which is capable of forming liquid crystals in water.

The compositions of the invention display high moisturisation efficacy without the associated high levels of tack, as well as good rheological and absorption properties, in addition to skin feel, skin softness and skin smoothness benefits.

According to a second aspect of the present invention there is provided a cosmetic method of treatment of the skin comprising applying to the skin a composition according to the present invention.

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According to a third aspect of the present invention there is provided a use of an emulsifier capable of forming liquid crystals in water for reducing tack in a skin care composition comprising from greater than about 20% to less than about 80% of a polyhydric alcohol, or mixtures thereof.

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According to a fourth aspect of the present invention there is provided a use of a composition comprising from greater than about 20% to less than about 80% of a polyhydric alcohol, or mixtures thereof; and an emulsifier capable of forming liquid crystals in water for a leave-on skin care application.

Detailed Description of the Invention

The compositions of the present invention comprise a polyhydric alcohol together with an essential emulsifier component, as well as various optional ingredients as indicated below. All levels and ratios are by weight of total composition, unless otherwise indicated. Chain length and degrees of ethoxylation are also specified on a weight average basis.

The term "tack" or "tackiness", as used herein, in relation to a leave-on composition means the ability of a composition to lightly bond to skin surfaces where the composition has been applied, upon the application of light pressure and within a short time-scale.

The term "stickiness" or "sticky" as used herein, is a term often used by consumers to describe their perception of the tack, either actual or perceived of a composition.

As used herein the term "leave-on" in relation to skin care compositions means that it intended to be used without a rinsing step, such that after applying the composition to the skin, the leave-on composition is preferably left on the skin for a period of at least about 15 minutes, more preferably at least about 30 minutes, even more preferably at least about 1 hour, most preferably for at least several hours, e.g., up to about 12 hours or more.

The term "skin conditioning agent", as used herein means a material which is capable of providing a cosmetic conditioning benefit to the skin such as moisturization, humectancy (i.e. the ability to retain or hold water or moisture in the skin), emolliency, visual

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improvement of the skin surface, soothing of the skin, softening of the skin, and improvement in skin feel.

The term "enzyme" as used herein means the enzyme, wild-type or variant, either *per se*, or chemically modified by the conjugation of polymer moieties.

5 As used herein, the term "wild-type" refers to an enzyme produced by unmutated hosts.

As used herein, the term "variant", means an enzyme having an amino acid sequence which differs from that of the wild-type enzyme due to the genetic mutation of the host producing that enzyme.

The present compositions can be used for any suitable purpose. In particular, the present compositions are suitable for topical application to the skin. In particular, the skin care compositions can be in the form of creams, lotions, gels, and the like. Preferably the cosmetic compositions herein are in the form of an emulsion of one or more oil phases in an aqueous continuous phase.

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Polyhydric Alcohols

As an essential component, the compositions herein comprise at least one polyhydric alcohol. The compositions of the present invention preferably comprise from greater than about 20% to less than about 80%, more preferably from about 22% to about 70%, and especially from about 25% to about 60% by weight of the polyhydric alcohol, or mixtures thereof.

Suitable polyhydric alcohols for use herein include polyalkylene glycols and more preferably alkylene polyols and their derivatives, including propylene glycol, dipropylene glycol, polypropylene glycol, polyethylene glycol and derivatives thereof, sorbitol, hydroxypropyl sorbitol, erythritol, threitol, pentaerythritol, xylitol, glucitol, mannitol, hexylene glycol, butylene glycol (e.g., 1,3-butylene glycol), hexane triol (e.g., 1,2,6-hexanetriol), trimethylol propane, neopentyl glycol, glycerine, ethoxylated glycerine and propoxylated glycerine.

Preferred polyhydric alcohols of the present invention are selected from glycerine, butylene glycol, propylene glycol, dipropylene glycol, polyethylene glycol and derivatives thereof, hexane triol, ethoxylated glycerine and propoxylated glycerine, or mixtures thereof.

Most preferred polyhydric alcohols for use in the present invention are glycerine, butylene glycol, or mixtures thereof.

5 Emulsifier

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As a second essential component, the compositions herein comprise an emulsifier which is capable of forming liquid crystals in water. It is not essential that the composition herein comprises liquid crystals at ambient temperature. Gel networks are commonly formed from liquid crystals as a result of cooling during the manufacturing procedure. It has been found that compositions that form gel networks at ambient temperature give excellent results.

In the literature, liquid crystals are also referred to as anisotropic fluids, a fourth state of matter, surfactant association structure or mesophases. Those terms are often used interchangeably. The term "lytropic" means a liquid crystalline system containing a polar solvent, such as water. The liquid crystals used herein are lamellar, hexagonal, or rod structures or mixtures thereof.

The liquid crystalline phase utilised in the compositions of the invention can be identified in various ways. A liquid crystal phase flows under shear and is characterised by a viscosity that is significantly different from the viscosity of its isotropic solution phase.

Also, when viewed with a polarised light microscope, liquid crystals or the gel networks
formed at ambient temperature show identifiable birefringence, as, for example, plain
lamellar birefringence, whereas when isotropic solutions and rigid gels are viewed under

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polarised light, both show dark fields. Other suitable means for identifying liquid crystals include x-ray diffraction, NMR spectroscopy and transmission electron microscopy.

Preferably the emulsifier herein is a mixture comprising at least one emulsifier having a high HLB (hyrophilic lipophilic balance), and at least one emulsifier having a low HLB. The HLB system is well known in the art and is described in detail in "The HLB System, a Time-Saving Guide to Emulsifier Selection", ICI Americas Inc., August 1984, which is incorporated herein by reference. The ratio of low HLB emulsifier to high HLB emulsifier in the mixture is from about 10: 1 to about 100: 1. The emulsifier is incorporated into the composition in an amount of from about 2% to about 45%, preferably from about 3% to about 40%, more preferably from about 3% to about 30%, by weight of the composition.

Low HLB emulsifiers suitable for the present invention have an HLB of 1 to 8 and a melting point of at least 45°C. Examples of suitable low HLB emulsifiers for use in the compositions of this invention include the following: saturated C₁₆ to C₃₀ fatty alcohols, such as cetyl, stearyl and behenyl alcohols; saturated C_{16} to C_{30} hydroxy fatty acids, such as palmitic, stearic, behenic and hydroxystearic acids; C₈ to C₂₄ mono- or polyglycerol esters, such as triglycerol stearate and triglycerol distearate; C₈ to C₂₄ polyol mono-, di- or tri-fatty acid esters such as sorbitan stearate, available under the trade name Arlacel 60 from ICI, Wilton, Middlesborough, U.K., sorbitan tristearate, available under the trade name Arlacel 65 from ICI, methyl glucose sequisterate, available under the trade name Glucate SS from Amerchol, Edison, New Jersey, U.S.A., and polyglycerol-3-methyl glucose distearate, available under the trade name Tegocare 450 from Goldschmidt, Hopewell, Virginia, U.S.A., sucrose dipalmitate, sucrose distearate and dextrin palmitate; C₈ to C₃₀ glyceryl esters, such as glyceryl monostearate or distearate (40 - 60% mono), glyceryl monostearate, palmitate or laurate (90% mono) and glyceryl hydroxystearate; and saturated C₁₆ to C₃₀ ethoxylated fatty alcohols containing from about 1 to about 5 moles of ethylene oxide, such as steareth 2, available under the trade name Brij 72 from ICI, ceteth 2, available under the trade name Brij 52 from ICI, and beheneth 5 available under the trade name Nikkol BB-5 from Nikko Chemical Co., Ltd, Tokyo, Japan; and mixtures thereof.

The low HLB emulsifiers useful herein are selected from the group consisting of saturated C_{16} to C_{30} fatty alcohols; saturated C_{16} to C_{30} ethoxylated fatty alcohols containing from about 1 to about 5 moles of ethylene oxide; C_8 to C_{24} mono or polyglycerol esters; C_8 to C_{24} polyol mono-, di-, or tri-fatty acid esters, wherein the polyol is preferably selected from dextrin, sugars, or sorbitan; saturated C_{16} to C_{30} hydroxy fatty acids; and mixtures thereof.

Preferred low HLB emulsifiers for use herein include C_8 to C_{24} polyol mono-fatty acid esters wherein the polyol is sorbitan; saturated C_{16} to C_{30} fatty alcohols; saturated C_{16} to C_{30} ethoxylated fatty alcohols and mixtures thereof. C_8 to C_{24} sorbitan fatty acid esters are more preferred. Most preferred are glyceryl monostearate, stearyl alcohol, sorbitan stearate, cetyl alcohol, or mixtures thereof. A particularly preferred low HLB emulsifier is sorbitan stearate.

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High HLB emulsifiers have an HLB greater than 8. Suitable high HLB emulsifiers useful herein are cationic, anionic or nonionic. Preferred are nonionic. Suitable examples of nonionic high HLB emulsifiers include the following: alkoxylated ethers of C₁ - C₃₀ fatty alcohols, such as Steareth 10, available under the trade name Brij 76 from ICI, Wilton, Middlesborough, U.K., Steareth 20, available under the trade name Brij 78 from ICI, Steareth 21, available under the trade name Brij 721 from ICI, Steareth 100, available under the trade name Brij 700 from ICI, and Ceteareth -12, available under the trade name Emulgin B1 from Henkel, La Grange, Illinois, U.S.A.; alkoxylated derivatives of C₁ - C₃₀ fatty acids, such as PEG-20-stearate, available under the trade name Myrj 49 from ICI, PEG-40-stearate, available under the trade name Myrj 52 from ICI, and PEG-100-stearate, available under the trade name Myrj 59 from ICI; alkyl polyoxyalkylene sugar esters, such as polysorbate 60, available under the trade name Tween 60 from ICI, PEG-20-methyl glucose sesquistearate, available under the trade name Glucamate SSE 20 from Amerchol, Edison, New Jersey, U.S.A., and PEG-20-glyceryl monostearate. available under the trade name Tagat S2 from Goldschmidt, Hopewell, Virginia, U.S.A.; mono-, di- or tri- sucrose fatty acid esters, preferably sucrose mono-fatty acid esters, such as sucrose cocoate, available under the trade name Crodesta SL-40 from Croda

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Surfactants, Goole, England, sucrose palmitate, available under the trade name Ryoto Sugar Ester P-1570 from Mitsubishi-Kasei, Tokyo, Japan, and sucrose stearate, available under the trade name Crodesta F-160 from Croda Surfactants; polyglyceryl esters of C_1 - C_{30} fatty acids, such as decaglyceryl mono- or di- stearate; and C_1 - C_{30} ethers of polyols, such as cetearyl glucoside; and mixtures thereof.

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Suitable anionic high HLB emulsifiers useful herein include lactates, such as sodium stearoyl lactylate, available from Nikko Chemical Co., Ltd; phosphonic acid esters and salts, such as diethanolamine cetyl phosphate, available under the trade name Amphisol from Givaudan-Roure, Clifton, New Jersey, U.S.A., and potassium cetyl phosphate, available under the trade name Amphisol K from Nikko Chemical Co., Ltd; and sulphuric acid esters, such as sodium cocomonoglyceride sulphate, available from Nikko Chemical Co., Ltd; and mixtures thereof.

- Suitable cationic high HLB emulsifiers useful herein include tetra-alkyl ammonium salts, such as dicetyl or distearyl dimethyl ammonium chloride, available from Witco, Greenwich, Connecticut, U.S.A.; and fatty acid amides, such as steapyrium chloride, available from Witco; and mixtures thereof.
- 20 Preferred high HLB emulsifiers for use herein are C₁-C₃₀ ethers of polyols; alkoxylated ethers of C₁-C₃₀ fatty alcohols; or mono-, di- or tri- sucrose fatty acid esters; or mixtures thereof. In mixtures of mono-sucrose fatty acid ester with di- or tri- sucrose esters, the monoester preferably comprises at least 70%, more preferably 80%, by weight of total sucrose ester mixture. Most preferred high HLB emulsifiers are mono-, di- or tri-sucrose fatty acid esters. A particularly preferred high HLB emulsifier is sucrose cocoate.

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An emulsifier for use herein is most preferably a fatty acid ester blend based on a mixture of sorbitan fatty acid ester and sucrose fatty acid ester, especially a blend of sorbiton stearate and sucrose cocoate. This is commercially available from ICI under the trade name Arlatone 2121.

In the compositions of the present invention, the concentration of the combined polyhydric alcohol and emulsifier is in the range of from about 10% to about 99%, preferably about 15% to about 95% and especially about 20% to about 90% by weight of the composition.

Optional Ingredients

Oil Phase

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Preferably the cosmetic compositions herein are in the form of an emulsion of one or more oil phases in an aqueous continuous phase, each oil phase comprises a single oily component or a mixture of oily components in miscible or homogeneous form. Different oil phases contain different materials or combinations of materials from each other. The total level of oil phase components in the compositions of the invention is typically from about 0.1% to about 60%, preferably from about 1% to about 30%, more preferably from about 1% to about 10%.

Preferably, the oil phase components of the compositions herein comprise an emollient material or mixtures thereof, and a silicone oil, or mixtures thereof.

In preferred embodiments, the oil phase preferably comprises additional oily components such as a natural or synthetic oils selected from mineral, vegetable, and animal oils, fats and waxes, and mixtures thereof. These oily components are present in an amount of from about 0.1% to about 15%, more preferably from about 1% to about 10% by weight of composition. Preferred for use herein are for example, hydrocarbons such as mineral

oils or petrolatum. Further examples suitable for use herein are disclosed in WO98/22085.

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Emollient materials

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The compositions of the present invention can comprise emollient materials selected from branched chain hydrocarbons having an weight average molecular weight of from about 100 to about 15,000, preferably from about 100 to 1000; compounds of formula I:

$$R^{2}$$
 $C - (CH_{2})_{x} - C$ CR^{4}

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Formula l

wherein R^1 is selected from H or CH₃, R^2 , R^3 and R^4 are independently selected from C_1 - C_{20} straight chain or branched chain alkyl, and x is an integer of from 1-20; and compounds having the formula (II):

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$$R^5 - C - OR^6$$

Formula I

wherein R^5 is selected from optionally hydroxy or C_1 - C_4 alkyl substituted benzyl and R_6 is selected from C_1 - C_{20} branched or straight chain alkyl; and mixtures thereof.

Suitable branched chain hydrocarbons for use herein are selected from isododecane, isohexadecane, isoeicosane, isooctahexacontane, isohexapentacontahectane, isopentacontaoctactane, petrolatum, and mixtures thereof. Suitable for use herein are branched chain aliphatic hydrocarbons sold under the trade name Permethyl (RTM) and commercially available from Presperse Inc., P.O. Box 735, South Plainfield, N.J. 07080, U.S.A. Suitable ester emollient materials of Formula I above include, but are not limited to, methyl isostearate, isopropyl isostearate, isostearyl neopentanoate. isononyl isononanoate, isodecyl octanoate, isodecyl isononanoate, tridecyl isononanoate, myristyl

octanoate, octyl pelargonate, octyl isononanoate, myristyl myristate, myristyl neopentanoate, myristyl octanoate, myristyl propionate, isopropyl myristate and mixtures thereof. Suitable ester emollient materials of Formula (II) include but are not limited to C12-15 alkyl benzoates.

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Preferred emollients for use herein are isohexadecane, isooctacontane, petrolatum, isononyl isononanoate, isodecyl octanoate, isodecyl isononanoate, tridecyl isononanoate, myristyl octanoate, octyl isononanoate, myristyl myristate, methyl isostearate, isopropyl isostearate, C12-15 alkyl benzoates and mixtures thereof.

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Particularly preferred emollients for use herein are isohexadecane, isononyl isononanoate, methyl isostearate, isopropyl isostearate, petrolatum, or mixtures thereof.

The emollient material is preferably present in the compositions at a level of from about 0.1% to about 10%, preferably from about 0.1% to about 8%, especially from about 0.5% to about 5% by weight of composition.

Polyol carboxylic acid ester

The compositions of the present invention may further comprise as an additional emollient, a polyol carboxylic acid ester.

The compositions of the present invention preferably comprise from about 0.01% to about 20%, more preferably from about 0.1% to about 15%, and especially from about 0.1% to about 10% by weight of the polyol ester. The level of polyol ester by weight of the oil in the composition is preferably from about 1% to about 30%, more preferably from about 5% to about 20%. From the viewpoint of providing improved skin softness and smoothness benefits, the weight ratio of the carboxylic acid polyol ester to the emollient material is preferably in the range of from about 5:1 to about 1:5, more preferably in the range of from 2:1 to about 1:2.

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The preferred polyol polyesters useful in this invention are C₁-C₃₀ mono- and polyesters of sugars and related materials. These esters are derived from a sugar or polyol moiety and one or more carboxylic acid moieties. Depending on the constituent acid and sugar, these esters can be in either liquid or solid form at room temperature. Examples include: glucose tetraoleate, the galactose tetraesters of oleic acid, the sorbitol tetraoleate, sucrose tetraoleate, sucrose pentaoleate, sucrose hexaoleate, sucrose heptaoleate, sucrose octaoleate, sorbitol hexaester in which the carboxylic acid ester moieties are palmitoleate and arachidate in a 1:2 molar ratio, and the octaester of sucrose wherein the esterifying carboxylic acid moieties are laurate, linoleate and behenate in a 1:3:4 molar ratio. Other materials include cottonseed oil or soybean oil fatty acid esters of sucrose. Other examples of such materials are described in WO 96/16636, incorporated by reference herein. A particularly preferred material is known by the INCI name sucrose polycottonseedate.

15 Silicone Oil

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The present compositions may comprise at least one silicone oil phase. Silicone oil phase(s) generally comprises from about 0.1% to about 20%, preferably from about 0.5% to about 10%, more preferably from about 0.5% to about 5%, of the composition. The, or each, silicone oil phase preferably comprises one or more silicone components.

Silicone components can be fluids, including straight chain, branched and cyclic silicones. Suitable silicone fluids useful herein include silicones inclusive of polyalkyl siloxane fluids, polyaryl siloxane fluids, cyclic and linear polyalkylsiloxanes, polyalkoxylated silicones, amino and quaternary ammonium modified silicones, polyalkylaryl siloxanes or a polyether siloxane copolymer and mixtures thereof. The silicone fluids can be volatile or non-volatile. Silicone fluids generally have a weight average molecular weight of less than about 200,000. Suitable silicone fluids have a molecular weight of about 100,000 or less, preferably about 50,000 or less, most preferably about 10,000 or less. Preferably the silicone fluid is selected from silicone fluids having a weight average molecular weight in the range from about 100 to about 50,000 and preferably from about 200 to about 40,000. Typically, silicone fluids have a viscosity ranging from about 0.65

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to about 600,000 mm².s⁻¹, preferably from about 0.65 to about 10,000 mm².s⁻¹ at 25°C. The viscosity can be measured by means of a glass capillary viscometer as set forth in Dow Corning Corporate Test Method CTM0004, July 29, 1970. Suitable polydimethyl siloxanes that can be used herein include those available, for example, from the General Electric Company as the SF and Viscasil (RTM) series and from Dow Corning as the Dow Corning 200 series. Also useful are essentially non-volatile polyalkylarylsiloxanes, for example, polymethylphenylsiloxanes, having viscosities of about 0.65 to 30,000 mm².s⁻¹ at 25°C. These siloxanes are available, for example, from the General Electric Company as SF 1075 methyl phenyl fluid or from Dow Corning as 556 Cosmetic Grade Fluid. Cyclic polydimethylsiloxanes suitable for use herein are those having a ring structure incorporating from about 3 to about 7 (CH₃)₂SiO moieties.

In preferred embodiments, the silicone fluid is selected from dimethicone, decamethylcyclopentasiloxane, octamethylcyclotetrasiloxane, phenyl methicone, and mixtures thereof.

Silicone gums can also be used herein. The term "silicone gum" herein means high molecular weight silicones having a weight average molecular weight in excess of about 200,000 and preferably from about 200,000 to about 4,000,000. Iincluded are nonvolatile polyalkyl and polyaryl siloxane gums. In preferred embodiments, a silicone oil phase comprises a silicone gum or a mixture of silicones including the silicone gum. Typically, silicone gums have a viscosity at 25°C in excess of about 1,000,000 mm²s⁻¹. The silicone gums include dimethicones as described by Petrarch and others including US-A-4,152,416, May 1, 1979 to Spitzer, et al, and Noll, Walter, Chemistry and Technology of Silicones, New York: Academic Press 1968. Also describing silicone gums are General Electric Silicone Rubber Product Data Sheets SE 30, SE 33, SE 54 and SE 76. Specific examples of silicone gums include polydimethylsiloxane, (polydimethylsiloxane)(methylvinylsiloxane) copolymer, poly(dimethylsiloxane)-(diphenyl)(methylvinylsiloxane) copolymer and mixtures thereof. Preferred silicone gums for use herein are silicone gums having a molecular weight of from about 200,000 to about 4,000,000 selected from dimethiconol, and dimethicone and mixtures thereof.

A silicone phase herein preferably comprises a silicone gum incorporated into the composition as part of a silicone gum-fluid blend. When the silicone gum is incorporated as part of a silicone gum-fluid blend, the silicone gum preferably constitutes from about 5% to about 40%, especially from about 10% to 20% by weight of the silicone gum-fluid blend. Suitable silicone gum-fluid blends herein are mixtures consisting essentially of:

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- (i) a silicone having a molecular weight of from about 200,000 to about 4,000,000 selected from dimethiconol, fluorosilicone and dimethicone and mixtures thereof; and
- (ii) a carrier which is a silicone fluid, the carrier having a viscosity from about 0.65 mm².s⁻¹ to about 100 mm².s⁻¹,

wherein the ratio of i) to ii) is from about 10:90 to about 20:80 and wherein said silicone gum-based component has a final viscosity of from about 100 mm².s⁻¹ to about 100,000 mm².s⁻¹, preferably from 500 mm².s⁻¹ to about 10,000 mm².s⁻¹.

An especially preferred silicone-gum fluid blend based component for use in the compositions herein is a dimethiconol gum having a molecular weight of from about 200,000 to about 4,000,000 along with a silicone fluid carrier with a viscosity of about 0.65 to 100 mm².s⁻¹. An example of this silicone component is Dow Corning Q2-1403 (85% 5 mm².s⁻¹ Dimethicone Fluid/15% Dimethiconol) and Dow Corning Q2-1401 available from Dow Corning.

Further silicone components suitable for use in a silicone oil phase herein are crosslinked polyorganosiloxane polymers, optionally dispersed in a fluid carrier. In general, when present the crosslinked polyorganosiloxane polymers, together with its carrier (if present) comprises 0.1% to about 20%, preferably from about 0.5% to about 10%, more preferably from about 0.5% to about 5% of the composition. Such polymers comprise polyorganosiloxane polymers crosslinked by a crosslinking agent. Suitable crosslinking agents are disclosed in WO98/22085. Examples of suitable polyorganosiloxane polymers

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for use herein include methyl vinyl dimethicone, methyl vinyl diphenyl dimethicone and methyl vinyl phenyl methyl diphenyl dimethicone.

Specific commercially available crosslinked polyorganosiloxane polymers for use herein are silicone vinyl crosspolymer mixtures available under the tradename KSG supplied by Shinetsu Chemical Co., Ltd, for example KSG-15, KSG-16, KSG-17, KSG-18. These materials contain a combination of crosslinked polyorganosiloxane polymer and silicone fluid. Particularly preferred for use herein especially in combination with the organic amphiphilic emulsifier material is KSG-18. The assigned INCI names for KSG-15, KSG-16, KSG-17 and KSG-18 are cyclomethicone dimethicone/vinyl dimethicone crosspolymer, dimethicone dimethicone crosspolymer, cyclomethicone dimethicone/vinyl dimethicone crosspolymer and phenyl trimethicone dimethicone/phenyl vinyl dimethicone crosspolymer, respectively.

Another class of silicone components suitable for use in a silicone oil phase herein includes polydiorganosiloxane-polyoxyalkylene copolymers containing at least one polydiorganosiloxane segment and at least one polyoxyalkylene segment. Suitable polydiorganosiloxane segments and copolymers thereof are disclosed in WO98/22085. Suitable polydiorganosiloxane-polyalkylene copolymers are available commercially under the tradenames Belsil (RTM) from Wacker-Chemie GmbH, Geschäftsbereich S, Postfach D-8000 Munich 22 and Abil (RTM) from Th. Goldschmidt Ltd., Tego House, Victoria Road, Ruislip, Middlesex, HA4 0YL, for example Belsil (RTM) 6031 and Abil (RTM) B88183. A particularly preferred copolymer fluid blend for use herein includes Dow Corning DC3225C which has the CTFA designation Dimethicone/Dimethicone copolyol.

Polymeric Thickening Agents

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The compositions of the present invention can comprise at least one polymeric thickening agent.

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The polymeric thickening agents useful herein preferably have a number average molecular weight of greater than 20,000, more preferably greater than 50,000 and especially greater than 100,000.

In general, the compositions of the present invention may comprise from about 0.01% to about 10%, preferably from about 0.1% to about 8% and most preferably from about 0.5% to about 5% by weight of the composition of the polymeric thickening agent, or mixtures thereof.

10 Without being limited by theory, it is thought that in compositions comprising polyol like humectants and polymeric thickening agents, a physical association of the polyol like humectant with any polymeric thickening agents present in the composition may occur. This physical association between the polyol like humectant and the polymeric thickening agents may further exacerbate the stickiness already displayed in compositions comprising high levels of polyol like humectants alone.

Preferred polymer thickening agents for use herein include non-ionic thickening agents and anionic thickening agents, or mixtures thereof. Suitable non-ionic thickening agents include polyacrylamide polymers, crosslinked poly(N-vinylpyrrolidones), polysaccharides, natural or synthetic gums, polyvinylpyrrolidone, and polyvinylalcohol. Suitable anionic thickening agents include acrylic acid/ethyl acrylate copolymers, carboxyvinyl polymers and crosslinked copolymers of alkyl vinyl ethers and maleic anhydride. Particularly preferred thickening agents for use herein are the non-ionic polyacrylamide polymers such as polyacrylamide and isoparaffin and laureth-7, available under the trade name Sepigel 305 from Seppic Corporation, and acrylic acid/ethyl acrylate copolymers and the carboxyvinyl polymers sold by the B.F. Goodrich Company under the trade mark of Carbopol resins, or mixtures thereof. Suitable Carbopol resins may be hydrophobically modified, and other suitable resins are described in WO98/22085, or mixtures thereof.

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The compositions of the present invention may comprise additional humectants which are preferably present at a level of from about 0.01% to about 20%, more preferably from about 0.1% to about 15% and especially from about 0.5% to about 15%.

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Suitable additional humectants useful herein are sodium 2-pyrrolidone-5-carboxylate (NaPCA), guanidine; glycolic acid and glycolate salts (e.g. ammonium and quaternary alkyl ammonium); lactic acid and lactate salts (e.g. ammonium and quaternary alkyl ammonium); aloe vera in any of its variety of forms (e.g., aloe vera gel); hyaluronic acid and derivatives thereof (e.g., salt derivatives such as sodium hyaluronate); lactamide monoethanolamine; acetamide monoethanolamine; urea; panthenol and derivatives thereof; and mixtures thereof.

At least part (up to about 5% by weight of composition) of an additional humectant can be incorporated in the form of an admixture with a particulate cross-linked hydrophobic acrylate or methacrylate copolymer, itself preferably present in an amount of from about 0.1% to about 10%, which can be added either to the aqueous or disperse phase. This copolymer is particularly valuable for reducing shine and controlling oil while helping to provide effective moisturization benefits and is described in further detail by WO96/03964, incorporated herein by reference.

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The above listed compounds may be incorporated singly or in combination. Preferred additional humectants are selected from urea, panthenol and mixtures thereof.

Enzymes

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In a preferred embodiment, the compositions of the present invention comprise one or more enzymes selected from proteases, lipases, phospholipases, glycosidases, lactoperoxidases, cellulases, and mixtures thereof, especially proteases. The enzymes are preferably present at a level of from about 0.0001% to about 5%, more preferably from about 0.0005% to about 1%, and especially about 0.001% to about 0.1%, by weight of the composition.

Protease enzymes are classified under the Enzyme Classification number E.C. 3.4 (Carboxylic Ester Hydrolases) in accordance with the Recommendations (1992) of the International Union of Biochemistry and Molecular Biology (IUBMB). Useful proteases are also described in PCT publications: WO 95/30010 published November 9, 1995 by The Procter & Gamble Company; WO 95/30011 published November 9, 1995 by The Procter & Gamble Company; WO 95/29979 published November 9, 1995 by The Procter & Gamble Company. Preferred protease enzymes for use herein are subtilisin, chymotrypsin and elastase-type protease enzymes.

- Especially preferred for use herein are subtilisin-type protease enzymes. Subtilisin enzymes are naturally produced by *Bacillus alcalophilus*, *Bacillus amyloliquefaciens*, *Bacillus amylosaccharicus*, *Bacillus licheniformis*, *Bacillus lentus* and *Bacillus subtilis* microorganisms.
- A particularly preferred substilisin-type enzyme is bacterial serine protease enzyme, and variants thereof, obtained from *Bacillus amyloliquefaciens*, *Bacillus licheniformis* and/or *Bacillus subtilis*, including Novo Industries A/S Alcalase[®], Esperase[®], Savinase[®] (Copenhagen, Denmark), Gist-brocades' Maxatase[®], Maxacal[®] and Maxapem 15[®] (protein engineered Maxacal[®]) (Delft, Netherlands), and subtilisin BPN and BPN', which are commercially available.

Especially preferred are protease enzymes, and variants thereof, obtained from *Bacillus amyloliquefaciens*. One known enzyme is BPN'. The wild-type BPN' from *Bacillus amyloliquefaciens* is characterized by the amino acid sequence:

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Ala Gln Ser Val Pro Tyr Gly Val Ser Gln Ile Lys Ala Pro Ala Leu His Ser Gln Gly
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Tyr Thr Gly Ser Asn Val Lys Val Ala Val Ile Asp Ser Gly Ile Asp Ser Ser His Pro
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Asp Leu Lys Val Ala Gly Gly Ala Ser Met Val Pro Ser Glu Thr Asn Pro Phe Gln Asp
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Asn Asn Ser His Gly Thr His Val Ala Gly Thr Val Ala Ala Leu Asn Asn Ser Ile Gly

										90										100
	Val	Leu	Gly	Val	Ala	Pro	Ser	Ala	Ser	Leu	Tyr	Ala	Val	Lys	Val	Leu	Gly	Ala	Asp	Gly
										110										120
	Ser	Gly	Gln	Tyr	Ser	Trp	Ile	Ile	Asn	Gly	He	Glu	Trp	Ala	Ile	Ala	Asn	Asn	Met	Asp
5										130										140
	Val	Ile	Asn	Met	Ser	Leu	Gly	Gly	Pro	Ser	Gly	Ser	Ala	Ala	Leu	Lys	Ala	Ala	Val	Asp
										150										160
	Lys	Ala	Val	Ala	Ser	Gly	Val	Val	Val	Val	Ala	Ala	Ala	Gly	Asn	Glu	Gly	Thr	Ser	Gly
										170										180
10	Ser	Ser	Ser	Thr	Val	Gly	Tyr	Pro	Gly	Lys	Tyr	Pro	Ser	Val	lle	Ala	Val	Gly	Ala	Val
										190										200
	Asp	Ser	Ser	Asn	Gln	Arg	Ala	Ser	Phe	Ser	Ser	Val	Gly	Pro	Glu	Leu	Asp	Val	Met	Ala
										210										220
	Pro	Gly	Val	Ser	lle	Gln	Ser	Thr	Leu	Pro	Gly	Asn	Lys	Tyr	Gly	Ala	Tyr	Asn	Gly	Thr
15										230										240
	Ser	Met	Ala	Ser	Pro	His	Val	Ala	Gly	Ala	Ala	Ala	Leu	Ile	Leu	Ser	Lys	His	Pro	Asn
										250										260
	Trp	Thr	Asn	Thr	Gln	Val	Arg	Ser	Ser	Leu	Glu	Asn	Thr	Thr	Thr	Lys	Leu	Gly	Asp	Ser
										270					275					
20	Phe	Tyr	Tyr	Gly	Lys	Lys	Gly	Leu	Ile	Asn	Asn	Val	Gln	Ala	Ala	Ala	Gln			

Variants of BPN', hereafter referred to as "Protease A", are disclosed in U.S. Patent 5,030,378 (issued to Venegas, July 9, 1991) as characterized by the BPN' amino acid sequence with the following mutations:

- a.) the Gly at position Gly166 is replaced with Asn, Ser, Lys, Arg, His, Gln, Ala or Glu; the Gly at position Gly169 is replaced with Ser; the Met at position Met222 is replaced with Gln, Phe, Cys, His, Asn, Glu, Ala or Thr; or
 - b.) the Gly at position Gly166 is replaced with Lys and the Met at position Met222 is replaced with Cys; or
- 30 c.) the Gly at position Gly160 is replaced with Ala and the Met at position Met222 is replaced with Ala.

Additional variants of BPN', heretoforth referred to as "Protease B", are disclosed by Genencor International, Inc. (San Francisco, California) European Patent EP-B-251,446 (granted December 28, 1994 and published January 7, 1988) as characterized by the wild-type BPN' amino acid with the mutations in one or more of the following amino acids: Tyr21, Thr22, Ser24, Asp36, Ala 45, Ala48, Ser49, Met50, His67, Ser87, Lys94, Val95,

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Gly97, Ser101, Gly102, Gly103, Ile107, Gly110, Met 124, Gly127, Gly128, Pro129, Leu135, Lys170, Tyr171, Pro172, Asp197, Met 199, Ser 204, Lys213, Tyr214, Gly215, and Ser221; or two or more of the amino acids listed above and Asp32, Ser33, Tyr104, Ala152, Asn155, Glu156, Gly166, Gly169, Phe189, Tyr217, and Met222 wherein both mutations cannot be made on the Asp32, Ser33, Tyr104, Ala152, Asn155, Glu156, Gly166, Gly169, Phe189, Tyr217, and Met222 amino acids.

Another preferred BPN' variant protease, hereafter referred to as "Protease D", is described in WO 95/10615 published April 20, 1995 by Genencor International as characterized by the wild-type BPN' amino acid with mutation to position Asn76, in combination with mutations in one or more other amino acid positions selected from the group consisting of Asp99, Ser101, Gln103, Tyr104, Ser105, Ile107, Asn109, Asn123, Leu126, Gly127, Gly128, Leu135, Glu156, Gly166, Glu195, Asp197, Ser204, Gln206, Pro210, Ala216, Tyr217, Asn218, Met222, Ser260, Lys265, and/or Ala274.

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Another preferred BPN' variant protease, hereafter referred to as "Protease F", is described in U.S. Patent Number 4,760,025, issued to Estell, et al. on July 26, 1988 as characterized by the wild-type BPN' amino acid with mutation to one or more amino acid positions selected from the group consisting of Asp32, Ser33, His64, Tyr104, Asn155, Glu156, Gly166, Gly169, Phe189, Tyr217, and Met222.

Preferred proteolytic enzymes, then, are selected from the group consisting of Alcalase[®], BPN', Protease A, Protease B, Protease D, and Protease F, and mixtures thereof. Protease F is most preferred.

Also suitable for use herein are proteases which are designed to maintain high levels of activity whilst at the same time diminish potential allergenic responses. Example of such proteases include chemically modified proteases such as those described in WO99/06071 wherein protease molecules are modified with a plurality of twin polymer moieties conjugated to the protease, and those described in co-pending US Application No. 09/088912 filed on June 8, 1998 by The Procter and Gamble Company.

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Further suitable examples of proteases designed to display reduced allergenicity, yet maintain high activity, are protease molecules whose epitope regions have been mapped and subsequently altered by removing, changing, or masking regions of the epitope amino acid sequence. Epitope regions are those active amino acid regions of the protease which are believed to invoke an allergic response. Suitable examples of such protease variants useful herein include those described in copending US Application Nos. 60/079447, filed March 26, 1998 and 60/079397, filed March 26, 1998 by The Procter and Gamble Company, herein both incorporated by reference.

10 Salts

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The compositions of the present invention may also comprise a salt selected from alkali metal and alkaline earth metal salts, and mixtures thereof, preferably sodium, calcium and magnesium salts and mixtures thereof. Especially preferred for use herein are calcium and magnesium salts. The compositions herein preferably comprise from about 50 ppm to about 400 ppm of the salt, based on the amount of metal ion.

A wide variety of optional ingredients such as additional thickening agents, neutralising agents, perfumes and colouring agents can also be added to the skin compositions herein.

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Neutralizing agents suitable for use in neutralizing acidic group containing hydrophilic gelling agents herein include sodium hydroxide, potassium hydroxide, ammonium hydroxide, monoethanolamine, diethanolamine, amino methyl propanol, tris-buffer and triethanolamine.

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The compositions of the invention are generally in emulsion form and are preferably formulated so as to have a product viscosity of at least about 4,000 mPa.s and preferably in the range from about 4,000 to about 1,000,000 mPa.s, more preferably from about 8,000 to about 350,000 mPa.s and especially from about 10,000 to about 250,000 mPa.s and even more especially from about 10,000 to about 150,000 mPa.s (25°C, neat, Brookfield RVT, T Spindle at 5 rpms and Heliopath Stand).

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The compositions of the invention can also contain from about 0.01% to about 10%, preferably from about 0.1% to about 5% of a panthenol moisturizer. The panthenol moisturizer can be selected from D-panthenol ([R]-2,4-dihydroxy-N-[3-hydroxypropyl)]-3,3-dimethylbutamide), DL-panthenol, calcium pantothenate, royal jelly, panthetine, pantotheine, panthenyl ethyl ether, pangamic acid, pyridoxin, pantoyl lactose and Vitamin B complex.

Other optional materials include keratolytic agents/desquamation agents such as salicylic acid; water-soluble or solubilizable preservatives preferably at a level of from about 0.1% to about 5%, such as Germall 115, methyl, ethyl, propyl and butyl esters of hydroxybenzoic acid, benzyl alcohol, DMDM hydantoin iodopropanyl butylcarbanate available under the trade name Glydant Plus from Lonza, EDTA, Euxyl (RTM) K400, Bromopol (2-bromo-2-nitropropane-1,3-diol) and phenoxypropanol; anti-bacterials such as Irgasan (RTM) and phenoxyethanol (preferably at levels of from 0.1% to about 5%); soluble or colloidally-soluble moisturising agents such as hylaronic acid and starchgrafted sodium polyacrylates such as Sanwet (RTM) IM-1000, IM-1500 and IM-2500 available from Celanese Superabsorbent Materials, Portsmith, VA, USA and described in USA-A-4,076,663; vitamins such as vitamin A, vitamin C, vitamin E and derivatives thereof and vitamin K; alpha and beta hydroxyacids; aloe vera; sphingosines and phytosphingosines, cholesterol; skin whitening agents; N-acetyl cysteine; colouring agents; antibacterial agents such as TCC/TCS, also known as triclosan and trichlorocarbon; perfumes and perfume solubilizers.

Also useful herein are sunscreening agents. A wide variety of sunscreening agents are described in U.S. Patent No. 5,087,445, to Haffey et al., issued February 11, 1992; U.S. Patent No. 5,073,372, to Turner et al., issued December 17, 1991; U.S. Patent No. 5,073,371, to Turner et al. issued December 17, 1991; and Segarin, et al., at Chapter VIII, pages 189 et seq., of Cosmetics Science and Technology. Preferred among those sunscreens which are useful in the compositions of the invention are those selected from 2-ethylhexyl p-methoxycinnamate, 2-ethylhexyl N,N-dimethyl-p-aminobenzoate, p-aminobenzoic acid, 2-phenylbenzimidazole-5-sulfonic acid, octocrylene, oxybenzone, homomenthyl salicylate, octyl salicylate, 4,4'-methoxy-t-butyldibenzoylmethane, 4-

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isopropyl dibenzoylmethane, 3-benzylidene camphor, 3-(4-methylbenzylidene) camphor, titanium dioxide, zinc oxide, silica, iron oxide, Parsol MCX, Eusolex 6300, Octocrylene, Parsol 1789, and mixtures thereof. Still other useful sunscreens are those disclosed in U.S. Patent No. 4,937,370, to Sabatelli, issued June 26, 1990; and U.S. Patent No. 4,999,186, to Sabatelli et al., issued March 12, 1991.

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Generally, the sunscreens can comprise from about 0.5% to about 20% of the compositions useful herein. Exact amounts will vary depending upon the sunscreen chosen and the desired Sun Protection Factor (SPF). SPF is a commonly used measure of photoprotection of a sunscreen against erythema. See <u>Federal Register</u>, Vol. 43. No. 166, pp. 38206-38269, August 25, 1978.

The compositions of the present invention can additionally comprise from about 0.1% to about 5% by weight of aluminium starch octenylsuccinate. Aluminium starch octenylsuccinate is the aluminium salt of the reaction product of octenylsuccinic anhydride with starch and is commercially available under the trade name from Dry Flo National Starch & Chemical Ltd. Dry Flo is useful herein from the viewpoint of skin feel and application characteristics.

Other optional materials herein include pigments which, where water-insoluble, contribute to and are included in the total level of oil phase ingredients. Pigments suitable for use in the compositions of the present invention can be organic and/or inorganic. Also included within the term pigment are materials having a low colour or lustre such as matte finishing agents, and also light scattering agents. Preferably the compositions of the present invention comprise particulate materials having a refractive index of from about 1.3 to about 1.7, the particulate materials being dispersed in the composition and having a median particle size of from about 2 to about 30 µm. Preferably the particulates useful herein have relatively narrow distributions, by which is meant that more than 50% of the particles fall within 3 µm either side of the respective median value. Also preferred is that more than 50%, preferably more than 60%, more preferably more than 70% of particles fall within the size ranges prescribed for the respective median values. Suitable particulate materials are organic or organosilicone

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and preferably organosilicone polymers. Preferred particles are free-flowing, solid, materials. By "solid" is meant that the particles are not hollow. The void at the centre of hollow particles can have an adverse effect on refractive index and therefore the visual effects of the particles on either skin or the composition. Suitable organic particulate materials include those made of polymethylsilsesquioxane, referenced above, polyamide, polythene, polyacrylonitrile, polyacrylic acid, polymethacrylic acid, polystyrene, polytetrafluoroethylene (PTFE) and poly(vinylidene chloride). Copolymers derived from monomers of the aforementioned materials can also be used. Inorganic materials include silica and boron nitride. Representative commercially available examples of useful particulate materials herein are Tospearl[®] 145 which has a median particle size of about 4.5 μm and EA-209[®] from Kobo which is an ethylene / acrylic acid copolymer having a median particle size of about 10 μm, Nylon-12 available under the trade name Orgasol 2002 from Elf Atochem, France, or mixtures thereof.

Further examples of suitable pigments are titanium dioxide, predispersed titanium dioxide from Kobo e.g. Kobo GWL75CAP, iron oxides, acyglutamate iron oxides, ultramarine blue, D&C dyes, carmine, and mixtures thereof. Depending upon the type of composition, a mixture of pigments will normally be used. The preferred pigments for use herein from the viewpoint of moisturisation, skin feel, skin appearance and emulsion compatibility are treated pigments. The pigments can be treated with compounds such as amino acids, silicones, lecithin and ester oils.

Vitamin B₃ component

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The compositions of the present invention can also comprise a safe and effective amount of a vitamin B₃ compound. The compositions of the present invention preferably comprise from about 0.01% to about 50%, more preferably from about 0.1% to about 20%, even more preferably from about 0.5% to about 10%, and still more preferably from about 1% to about 8%, most preferably from about 1.5% to about 6%, of the vitamin B₃ compound.

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As used herein, "vitamin B₃ compound" means a compound having the formula:



wherein R is - CONH₂ (i.e., niacinamide), - COOH (i.e., nicotinic acid) or - CH₂OH (i.e., nicotinyl alcohol); derivatives thereof; and salts of any of the foregoing. Exemplary derivatives of the foregoing vitamin B₃ compounds include nicotinic acid esters, including non-vasodilating esters of nicotinic acid, nicotinyl amino acids, nicotinyl alcohol esters of carboxylic acids, nicotinic acid N-oxide and niacinamide N-oxide.

Suitable esters of nicotinic acid include nicotinic acid esters of C₁-C₂₂, preferably C₁-C₁₆, more preferably C₁-C₆ alcohols. The alcohols are suitably straight-chain or branched chain, cyclic or acyclic, saturated or unsaturated (including aromatic), and substituted or unsubstituted. The esters are preferably non-vasodilating. As used herein, "non-vasodilating" means that the ester does not commonly yield a visible flushing response after application to the skin in the subject compositions (the majority of the general population would not experience a visible flushing response, although such compounds may cause vasodilation not visible to the naked eye). Non-vasodilating esters of nicotinic acid include tocopherol nicotinate and inositol hexanicotinate; tocopherol nicotinate is preferred. A more complete description of vitamin B₃ compounds is given in WO 98/22085.

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Examples of the above vitamin B₃ compounds are well known in the art and are commercially available from a number of sources, e.g., the Sigma Chemical Company (St. Louis, MO); ICN Biomedicals, Inc. (Irvin, CA) and Aldrich Chemical Company (Milwaukee, WI). One or more vitamin B₃ compounds may be used herein. Preferred vitamin B₃ compounds are niacinamide and tocopherol nicotinate. Niacinamide is more preferred.

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Retinoids

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In a preferred embodiment, the compositions of the present invention also contain a retinoid. The vitamin B3 compound and retinoid provide unexpected benefits in regulating skin condition, especially in therapeutically regulating signs of skin aging, more especially wrinkles, lines, and pores. Without intending to be bound or otherwise limited by theory, it is believed that the vitamin B₃ compound increases the conversion of certain retinoids to trans-retinoic acid, which is believed to be the biologically active form of the retinoid, to provide synergistic regulation of skin condition (namely, increased conversion for retinol, retinol esters, and retinal). In addition, the vitamin B3 compound unexpectedly mitigates redness, inflammation, dermatitis and the like which may otherwise be associated with topical application of retinoid (often referred to, and hereinafter alternatively referred to as "retinoid dermatitis"). Furthermore, the combined vitamin B3 compound and retinoid tend to increase the amount and activity of thioredoxin, which tends to increase collagen expression levels via the protein AP-1. Therefore, the present invention enables reduced active levels, and therefore reduced potential for retinoid dermatitis, while retaining significant positive skin conditioning benefits. In addition, higher levels of retinoid may still be used to obtain greater skin conditioning efficacy, without undesirable retinoid dermatitis occurring.

As used herein, "retinoid" includes all natural and/or synthetic analogs of Vitamin A or retinol-like compounds which possess the biological activity of Vitamin A in the skin as well as the geometric isomers and stereoisomers of these compounds. The retinoid is preferably retinol, retinol esters (e.g., C₂ - C₂₂ alkyl esters of retinol, including retinyl palmitate, retinyl acetate, retinyl proprionate), retinal, and/or retinoic acid (including all-trans retinoic acid and/or 13-cis-retinoic acid), more preferably retinoids other than retinoic acid. These compounds are well known in the art and are commercially available from a number of sources, e.g., Sigma Chemical Company (St. Louis, MO), and Boehringer Mannheim (Indianapolis, IN). Preferred retinoids are retinol, retinyl palmitate, retinyl acetate, retinyl proprionate, retinal and combinations thereof. More

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preferred are retinol and retinyl palmitate. The retinoid may be included as the substantially pure material, or as an extract obtained by suitable physical and/or chemical isolation from natural (e.g., plant) sources.

- The compositions preferably contain from or about 0.005% to or about 2%, more preferably 0.01% to about 2% retinoid. Retinol is most preferably used in an amount of from or about 0.01% to or about 0.15%; retinol esters are most preferably used in an amount of from about 0.01% to about 2% (e.g., about 1%).
- Suitably, the pH of the compositions herein is greater than 5, preferably greater than 5.25 and more preferably greater than 5.40, also preferably less than 9, more preferably less than 8 and even more preferably less than 7.
- The compositions of the invention are preferably in the form of a moisturising cream or lotion, which can be applied to the skin as a leave-on product. The invention is illustrated by the following examples.

Examples I to VIII

Example	I	II	III	IV	V	VI
Ingredient	<u>%</u>	<u>%</u>	<u>%</u>	<u>%</u>	<u>%</u>	<u>%</u>
	$\underline{\mathbf{w}}/\underline{\mathbf{w}}$	w/w	$\underline{\mathbf{w}}/\underline{\mathbf{w}}$	w/w	w/w	\mathbf{w}/\mathbf{w}
Arlatone 2121 ¹	5	5	10	10	10	15
Glycerine	25	25	25	25	50	80
Water	qs to	qs to	qs to	qs to	qs to	qs to
	100	100	100	100	100	100
Petrolatum	5	5	5	5	5	2
Dimethicone	2	3	3	3	3	1
Preservative	0.1	0.1	0.1	0.1	0.1	0.1
Gransil Gel ²	0	0	0	1	0	0

The above compositions are made as follows:

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Heat the water to 80°C, add glycerine with mixing. Disperse the Arlatone 2121 into the water phase with mixing. Heat oil phase ingredients to 80°C. Add to water phase with mixing. Cool and add temperature-sensitive ingredients at 45°C.

Example	VII	VIII
Ingredient	%	<u>%</u>
	$\underline{\mathbf{w}}/\underline{\mathbf{w}}$	$\underline{\mathbf{w}}/\underline{\mathbf{w}}$
Arlatone 2121	5	10
Glycerine	25	25
Petrolatum	5.0	5
Sucrose Polycottonseedate	-	1.5
Isohexadecane	-	0.5
PPG-15-Stearyl Ether	-	-
Dimethicone	2.0	3.0
Gransil Gel ²	-	1.0
DC 1403 ³	1.0	1.0
Protease F	0.015	0.005
Calcium Chloride	0.042	0.083
Water, Minors	qs to	qs to
	100	100

- 1. Supplied by ICI Surfactants, PO Box 90, Wilton Centre, Middlesborough, Cleveland TS6 8JE, England.
- 2. Supplied by Grant Industries Inc., Elmwood Park, New Jersey, USA. (The gel comprises 74-83% (w/w) cyclomethicone (D5), 12 16% (w/w) polysilicone 11 and 12 -15% (w/w) petrolatum)
- 3. A blend of dimethicone and dimethiconol

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The compositions of Examples VII and VIII are prepared according to the procedure described above with the additional final step of blending the enzyme (Protease F) solution at 30°C or below to the batch.

The compositions display high moisturisation efficacy without the associated high levels of tack, as well as good rheological and absorption properties, in addition to skin feel, skin softness and skin smoothness benefits.

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CLAIMS

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- 1. A leave-on cosmetic composition suitable for topical application to the skin comprising:
- (a) from greater than about 20% to less than about 80% by weight of a polyhydric alcohol, or mixtures thereof; and
- (b) from about 2% to about 45% by weight of an emulsifier which is capable of forming liquid crystals in water.
- 2. A composition according to claim 1 comprising from about 22% to about 70%, preferably from about 25% to about 60%, by weight of the polyhydric alcohol, or mixtures thereof.
- 3. A composition according to claim 1 or 2 wherein the polyhydric alcohol is selected from glycerine, butylene glycol, propylene glycol, dipropylene glycol, polyethylene glycol and derivatives thereof, hexane triol, ethoxylated glycerine and propoxylated glycerine, and mixtures thereof.
- 4. A composition according to claim 3 wherein the polyhydric alcohol is selected from glycerine, butylene glycol, or mixtures thereof.
- 5. A composition according to any of claims 1 to 4 comprising from about 3% to about 40%, preferably from about 3% to about 30%, by weight of emulsifier.
- A composition according to any of claims 1 to 5 wherein the emulsifier comprises a mixture of at least one emulsifier having a high HLB and at least one emulsifier having a low HLB with a melting point of at least 45°C, the ratio of low HLB emulsifier to high HLB emulsifier being from about 10: 1 to about 100: 1.

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- 7. A composition according to claim 6 wherein the high HLB emulsifier is selected from C₁-C₃₀ ethers of polyols; alkoxylated ethers of C₁-C₃₀ fatty alcohols; and mono-, di- or tri- sucrose fatty acid esters; and mixtures thereof, preferably mono-, di- or tri-sucrose fatty acid esters; and the low HLB emulsifier is selected from C₈ to C₂₄ polyol mono-fatty acid esters wherein the polyol is sorbitan; saturated C₁₆ to C₃₀ fatty alcohols; saturated C₁₆ to C₃₀ ethoxylated fatty alcohols and mixtures thereof, preferably C₈ to C₂₄ sorbitan fatty acid esters, more preferably glyceryl monostearate, stearyl alcohol, sorbitan stearate or cetyl alcohol, or mixtures thereof.
- 10 8. A composition according to claim 7 wherein the emulsifier is a fatty acid ester blend based on a mixture of sorbitan fatty acid ester and sucrose fatty acid ester.
 - 9. A composition according to claim 8 wherein the emulsifier is a blend of sorbitan stearate and sucrose cocoate.

10. A composition according to any of claims 1 to 9, further comprising from about 0.0001% to about 5%, preferably from about 0.0005% to about 1%, and more preferably from about 0.001% to about 0.1%, by weight of one or more enzymes selected from proteases, lipases, phospholipases, glycosidases, lactoperoxidases, cellulases, and mixtures thereof, preferably proteases.

- 11. Use of from about 2% to about 45% by weight of an emulsifier which is capable of forming liquid crystals in water, for reducing tack in a leave-on cosmetic composition suitable for topical application to the skin comprising from greater than about 20% to less than about 80% by weight of a polyhydric alcohol, or mixtures thereof.
- 12. Use according to claim 11 wherein the leave-on cosmetic composition has the features of any of claims 2 to 10.

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13. Cosmetic method of treatment of the skin comprising applying to the skin a cosmetic composition according to any of claims 1 to 10.

INTERNATIONAL SEARCH REPORT

Inte onal Application No PCT/US 00/30624

A. CLASSIFICATION OF SUBJECT MATTER IPC 7 A61K7/00 A61K A61K7/48 According to International Patent Classification (IPC) or to both national classification and IPC **B. FIELDS SEARCHED** Minimum documentation searched (classification system followed by classification symbols) IPC 7 A61K Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal, WPI Data, PAJ, CHEM ABS Data C. DOCUMENTS CONSIDERED TO BE RELEVANT Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. US 4 767 625 A (MITSUNO YUICHIRO ET AL) Χ 1-5, 30 August 1988 (1988-08-30) 11 - 13column 1, line 60 -column 2, line 44 column 4, line 58-65 tables 1,2 WO 96 16545 A (PROCTER & GAMBLE ; DOUGHTY Χ 1,3-9,DARRELL GENE (US); GATTO JOSEPH ANTHONY) 11 - 136 June 1996 (1996-06-06) page 2, line 13 -page 3, line 2 page 13, line 25 -page 14, line 16 page 15, line 1-14 examples I-V claims 1, 3, 5-8, 21Further documents are listed in the continuation of box C. X Patent family members are listed in annex. Special categories of cited documents : *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the *A* document defining the general state of the art which is not considered to be of particular relevance invention *E* earlier document but published on or after the international "X" document of particular relevance; the claimed invention filing date cannot be considered novel or cannot be considered to involve an inventive step when the document is laken alone *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) *Y* document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such docu-ments, such combination being obvious to a person skilled in the ad. "O" document referring to an oral disclosure, use, exhibition or *P* document published prior to the international filing date but later than the priority date claimed *&* document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 5 March 2001 19/03/2001 Name and mailing address of the tSA Authorized officer European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tet. (+31-70) 340-2040, Tx. 31 651 epo ni,

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INTERNATIONAL SEARCH REPORT

Inte onal Application No
PCT/US 00/30624

		PC1/US 00/30624
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FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-13

Present claims 1-13 relate to an extremely large number of possible compounds (namely polyhydric alcohol, emulsifier capable of forming liquid crystals in water). Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible.

Moreover present claims 6-10,12 and 13 relate to a compound (emulsifier) defined by reference to the following parameter:

P1: high and low HLB

The use of this parameter in the present context is considered to lead to a lack of clarity within the meaning of Article 6 PCT. It is impossible to compare the parameter the applicant has chosen to employ with what is set out in the prior art. The lack of clarity is such as to render a meaningful complete search impossible.

Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds mentioned in the claims 3,4,8 and 9.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

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